

1619

PATENT CASE CV01489K

**IN THE UNITED STATES PATENT AND TRADEMARK OFFICE**

In re Patent Application of:  
**Harry R. Davis et al.**

Examiner: To Be Assigned

For:  
**COMBINATIONS OF PEROXISOME  
PROLIFERATOR-ACTIVATED RECEPTOR  
(PPAR) ACTIVATOR(S) AND STEROL  
ABSORPTION INHIBITOR(S) AND  
TREATMENTS FOR VASCULAR  
INDICATIONS**

Group Art Unit: 1619

Attorney Docket No.: CV01489K

Serial No.: 10/057,323

Filed: **January 25, 2002**

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Assistant Commissioner of Patents  
Washington, D.C. 20231

**INFORMATION DISCLOSURE STATEMENT**

Sir:

It is requested that the documents listed on the accompanying PTO Form 1449 be considered and made of record in the above-identified patent application. A copy of each cited document is attached.

The Commissioner is authorized to charge Deposit Account No. 19-0365 for any additional fees deemed necessary for consideration and entry of this Information Disclosure Statement into the file record.

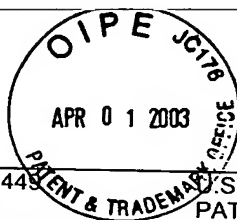
Respectfully submitted,

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I HEREBY CERTIFY THAT THIS CORRESPONDENCE IS BEING DEPOSITED WITH THE UNITED STATES POSTAL SERVICE AS FIRST CLASS MAIL IN AN ENVELOPE ADDRESSED TO COMMISSIONER OF PATENTS AND TRADEMARKS, WASHINGTON D.C. 20231 ON 3/21/03 ANN MARIE CANNONI REG. NO. 35,972  
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*Ann Marie Cannoni* 3/21/03  
(SIGNATURE AND DATE)



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FORM PTO-1449 U.S. DEPARTMENT OF COMMERCE  
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**INFORMATION DISCLOSURE STATEMENT  
BY APPLICANT**

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ATTY. DOCKET NO.: CV01489K	SERIAL NO.: 10/057,323
APPLICANT: Harry R. Davis et al.	
FILING DATE: January 25, 2002	GROUP: 1619

**FOREIGN PATENT DOCUMENTS**

		DOCUMENT NUMBER	DATE	COUNTRY	CLASS	SUB- CLASS	TRANSLATION	
							YES	NO
	FQ	WO 97/21676	06/19/97	PCT	C07D	205/09		
	FR	WO 97/41098	11/06/97	PCT	C07D	205/09		
	FS	WO 00/23415	04/27/00	PCT	C07C	69/734		
	FT	WO 00/23416	04/27/00	PCT	C07C	69/734		
	FU	WO 00/23425	04/27/00	PCT	C07D	209/80		
	FV	WO 00/23445	04/27/00	PCT	C07D	471/12		
	FW	WO 00/23451	04/27/00	PCT	C07D	487/14		
	FX	WO 00/28981	05/25/00	PCT	A61K	31/00		
	FY	WO 00/31548	06/02/00	PCT	G01N	33/68		
	FZ	WO 00/32189	06/08/00	PCT	A61K	31/415		
	GA	WO 00/34240	06/15/00	PCT	C07D	205/08		
	GB	WO 00/37057	06/29/00	PCT	A61K	9/48		
	GC	WO 00/37078	06/29/00	PCT	A61K	31/44	X(abs.)	
	GD	WO 00/38721	07/06/00	PCT	A61K	45/06		
	GE	WO 00/38722	07/06/00	PCT	A61K	45/06		
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	GG	WO 00/38724	07/06/00	PCT	A61K	45/06		
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	GW	WO 00/63153	10/26/00	PCT	C07C	69/734		
	GX	WO 00/63161	10/26/00	PCT	C07C	237/30		
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	GZ	WO 00/63196	10/26/00	PCT	C07D	277/04		
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	HB	WO 00/63703	10/26/00	PCT	G01N	33/92		
	HC	WO 00/69412	11/23/00	PCT	A61K	9/127		
	HD	WO 00/69445	11/23/00	PCT	A61K	31/785		
	HE	WO 00/72825	12/7/00	PCT	A61K	9/14		
	HF	WO 00/72829	12/07/00	PCT	A61K	9/48		

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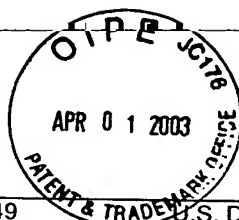
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HI	WO 00/76488	12/21/00	PCT	A61K	31/00		
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HL	WO 01/00579	01/04/01	PCT	C07D	213/65		
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HZ	WO 01/21259	03/29/01	PCT	A61P			
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IB	WO 01/21647	03/29/01	PCT	C07K	14/00		
IC	WO 01/22962	04/05/01	PCT	A61K	31/435		
ID	WO 01/25225	04/12/01	PCT	C07D	317/18		
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IV	WO 02/064549	08/22/02	PCT	C07C	275/34		
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IY	WO 02/081454	10/17/02	PCT	C07D	239/36		
IZ	WO 02/26729	04/04/02	PCT	C07D	311/66		
JA	WO 02/064094	08/22/02	PCT	A61K			

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SERIAL NO.:

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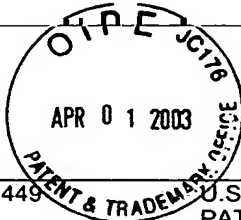
Harry R. Davis et al.

FILING DATE:

GROUP:

## OTHER DOCUMENTS (Including Author, Title, Date, Pertinent Pages, Etc.)

JB	Vaccaro, W.D. et al., "Sugar-substituted 2-azetidinone cholesterol absorption inhibitors: enhanced potency by modification of the sugar" <i>Bioorganic &amp; Medicinal Chemistry Ltrs.</i> , Oxford, G.B., 8:313-318 (1998)
JC	Vaccaro, W.D. et al., "Carboxy-substituted 2-azetidinones as cholesterol absorption inhibitors", <i>Bioganic &amp; Medicinal Chem. Ltrs. Oxford, G.B.</i> 8:319-322 (1998)
JD	H. Davis et al., "Ezetimibe, a Potent Cholesterol Absorption Inhibitor, Inhibits the Development of Atherosclerosis in Apo E Knockout Mice", <i>Arterioscler, Thromb. Vasc. Biol</i> 21:2032-2038, (Dec. 2001)
JE	Simova, E., "Aldol-type addition of hydrocinnamic acid esters to benzylideneaniline", <i>Chemical Abstracts No. 15</i> , 86 (April 11, 1997)
JF	Otto et al., "Stereochemistry of dehydration and halogenation of $\alpha$ R* and $\alpha$ S* isomeric 3-( $\alpha$ -hydroxybenzyl)-1,4 diphenyl-2 azetidinones, <i>Chemical Abstracts No. 19</i> , 99 (Nov. 7, 1983)
JG	T. Durst et al., "Metallation of N-Substituted $\beta$ -Lactams. A Method of the Introduction of 3-substituents into $\beta$ -Lactams" <i>Canadian Journal of Chemistry</i> , 50:3196-3201 (1971)
JH	Nobuki, O. et al., "Stereoselective syntheses of $\beta$ -lactam derivatives by ultrasound promoted Reformatskii reaction" <i>Chemical Abstracts No. 106</i> , 17 (April 27, 1987)
JI	M. Hoekman, et al., "Synthesis of Homologues of 4,5-Dihydroxy- and 4-Hydroxy-5-oxohexanoic Acid $\gamma$ -Lactones", <i>J. Agric. Food Chem.</i> , 30:920-924 (1982)
JJ	H. Otto et al., "Darstellung und Stereochemie von 3-( $\alpha$ -Hydroxybenzyl)-1,4-diphenyl-2-azetidinonen", <i>Liebigs Ann. Chem.</i> 1152-1161 (1983)
JK	G. George et al., "3-(1'-Hydroxyethyl)-2-Azetidinones From 3-Hydroxybutyrates and N-Arylaldimines" <i>Tetrahedron Letters</i> , 26:3903-3906 (1985)
JL	Hart et al., "An Enantioselective Approach to Carbapenem Antibodies: Formal Synthesis of (+)-Thienamycin", 26 <i>Tetrahedron Letters</i> , 45:5493-5496 (1985)
JM	Panfil, I. et al., "Synthesis of $\beta$ -Lactams from $\alpha$ , $\beta$ -Unsaturated Sugar $\delta$ -Lactones" 24 <i>Heterocycles</i> 6:1609-1617 (1986)
JN	D. Roger Illingworth, "An Overview of Lipid-Lower Drugs" <i>Drugs</i> 36:63:71 (1988)
JO	Joseph L. Witztum, M.D., "Current Approaches to Drug Therapy for the Hypercholesterolemic Patient" <i>Circulation</i> 80:1101-1114 (1989)
JP	B. Ram et al., "Potential Hypolipidemic agents: Part V", 29B <i>Indian J. Chem.</i> 1134-37 (1990)
JQ	Schnitzer-Polokoff, R. et al., "Effects of Acyl-CoA: Cholesterol O-Acyltransferase Inhibition on Cholesterol Absorption and Plasma Lipoprotein Composition in Hamsters" <i>Comp. Biochem. Physiol.</i> 99A:665-670 (1991)
JR	Horie, M. et al., "Hypolipidemic effects of NB-598 in dogs" <i>Atherosclerosis</i> 88:183-192 (1991)
JS	Baxter, A., "Squalestatin 1, a Potent Inhibitor of Squalene Synthase, Which Lowers Serum Cholesterol in Vivo", <i>The Journal of Biological Chemistry</i> 267:11705-11708 (1992)
JT	Summary Factfile, "Anti-Atherosclerotic Agents" <i>Current Drugs Ltd.</i> (1992)
JU	Harwood H. James, "Pharmacologic consequences of cholesterol absorption inhibition: alteration in cholesterol metabolism and reduction in plasma cholesterol concentration induced by the synthetic saponin $\beta$ -tigogenin cellobioside (CP-88818; tiqueside) 1" <i>Journal of Lipid Research</i> 34:377-395 (1993)
JV	Salisbury, B. et al., "Hypocholesterolemic activity of a novel inhibitor of cholesterol absorption, SCH 48461" <i>Atherosclerosis</i> 115:45-63 (1995)



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<b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b>  (Use several sheets if necessary)			APPLICANT: Harry R. Davis et al.	
			FILING DATE: January 25, 2002	GROUP: 1619
JW	Clader, J. W. et al., "Substituted (1,2-Diarylethyl)amide Acyl-CoA:Cholesterol Acyltransferase Inhibitors: Effect of Polar Groups in Vitro and in Viro Activity" <i>Journal of Medicinal Chemistry</i> <b>38</b> :1600-1607 (1995)			
JX	Sybertz, E., "Sch 48461, a novel inhibitor of cholesterol absorption" <i>Atherosclerosis</i> pp. 311-315 (1995)			
JY	Vaccaro, W, et al, "2-Azetidinone Cholesterol Absorption Inhibitors; Increased Potency by Substitution of the C-4 Phenyl Ring", <i>Bioorg. &amp; Med. Chem.</i> <b>6</b> :1429-1437 (1998)			
JZ	G. Wu et al, "A Novel One-Step Diastereo-and enantioselective formation of trans-azetidinones and its application to the total synthesis of cholesterol absorption inhibitors A.C.S. (4/21/99).			
KA	B. Staels, "New Roles for PPARs in Cholesterol Homeostasis", <i>Trends in Pharmacological Sciences</i> , <b>22</b> :9 p. 444 (Sept. 2001)			
KB	Abbott et al, "Tricor® Capsules, Micronized", <i>Physicians Desk Reference</i> , January 8, 2001.			
KC	M. Feher et al., 1991, <i>Lipids and Lipid Disorders</i> , p.1-87 (1991).			
KD	M. Ricote et al., "New Roles for PPARs in Cholesterol Homeostasis", <i>Trends in Pharmacological Science</i> , Vol. <b>22</b> , No. 9 44-443 (2001)			
KE	C. Dujovne et al, "Reduction of LDL Cholesterol in Patients with Primary Hypercholesterolemia by SCH 48461: Results of a multicenter Dose-Ranging Study", <i>J. Clin. Pharm.</i> <b>41</b> :1 70-78 (Jan. 2001)			
KF	W. Oppolzer et al., "Asymmetric Diels - Alder Reactions, Facile Preparation and Structure of Sulfonamido - Isobornyl Acrylates", <i>Tetrahedron Letters</i> No. 51, <b>25</b> :5885-5888 (1984).			
KG	M. Davidson et al., "Colesevelam Hydychloride: a non-absorbed, polymeric cholesterol lowering agent", <i>Expert Opinion Investigating Drugs</i> , <b>11</b> :2663-71, (Nov. 2000)			
KH	M. Davidson et al., "Colesevelam hydrochloride (cholestagel): a new, potent bileacid sequestrant associated with a low incidence of gastrointestinal effects", <i>159 Arch. Intern. Med.</i> 16 1893-900 (Sept. 1999)			
KI	I. Wester, "Cholesterol - Lowering effect of plant sterols", <i>Euro. J.Lipid, Sci. Tech.</i> 37-44 (2000).			
KJ	A. Andersson et al., "Cholesterol -lowering effects of a stanol ester-containing low fat margarine used in conjunction with a strict lipid-lowing diet", <i>1 European Heart. J. Supplements</i> S80 - S90 (1999)			
KK	H. Gylling et al, "Reduction of Serum Cholesterol in Postmenopausal Women with Previous Myocardial Infarction and Cholesterol Malabsorption induced by Dietary Sitostanol Ester Margarine, <i>96 Circulation</i> 12 4226-4231 (Dec. 16, 1997)			
KL	T. Miettinen et al, "Reduction of Serum Cholesterol with Sitostanol-Ester Margarine in a Mildly Hypercholesterolemic Population", <i>New England Journal of Med.</i> 333 1308-1312 (Nov. 16, 1995)			
KM	T. Bocan et al., "The ACAT Inhibitor Avasimibe Reduces Macrophages and Matrix Metalloproteinase Expression in Atherosclerotic Lesions of Hypercholesterolemic Rabbits", <i>Arterioscler Thromb Vasc. Biol.</i> 70-79 (Jan. 2000)			
KN	M. Van Heek et al., "In Vivo Metabolism - Based Discovery of a Potent Cholesterol Absorption Inhibitor, SCH 58235, in the Rat and Rhesus Monkey through the indentification of the active metabolites of SCH48461," <i>283 J. Pharma and Experimental Therapeutics</i> 1 157-163 (1997)			
KO	H. Davis et al., "The Cholesterol Absorption Inhibitor Ezetimibe Inhibits the Development of Atherosclerosis in apo E knockout (-/-) mice fed low fat and western diets," <i>151 Atherosclerosis</i> 1:133 (July 2000)			



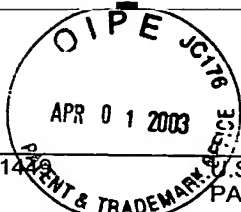
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<b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b>  (Use several sheets if necessary)				APPLICANT: Harry R. Davis et al.	
				FILING DATE: January 25, 2002	
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•	KP	L. Nguyen <i>et al.</i> , "Unexpected Failure of Bile Acid Malabsorption to Stimulate Cholesterol Synthesis in Sitosterolemia with Xanthomatosis", <i>10 Atherosclerosis</i> 2, 289-297 (1990)			
•	KQ	L. Nguyen <i>et al.</i> , "Regulation of Cholesterol Biosynthesis in Sitosterolemia: effects of lovastatin, Cholestyramine, and dietary sterol restriction," <i>32 J.Lipid Res.</i> 1941-1948 (1991)			
•	KR	M. Cobb <i>et al.</i> , "Sitosterolemia: Opposing Effects of cholestyramine and Lovastatin on Plasma Sterol Levels in a Homozygous Girl and Her Heterozygous Father," <i>45 Metabolism</i> 6 673-679 (June 1996)			
•	KS	M. Huettinger <i>et al.</i> , "Hypolipidemic Activity of HOE-402 is mediated by Stimulation of the LDL Receptor Pathway", <i>13 Arteriosclerosis and Thrombosis</i> 7 1005-1012 (July 1993).			
•	KT	J. Best <i>et al.</i> , "Diabetic Dyslipidaemia", <i>59 Drugs</i> 5 1101-1111 (May 2000)			
•	KU	P. Chong, <i>et al.</i> , "Current, New and Future Treatment in Dyslipidaemia and Atherosclerosis", <i>60 Drugs</i> 1 55-93 (July 2000)			
•	KV	M. Brown <i>et al.</i> , "A Receptor - Mediated Pathway for Cholesterol Homeostasis", <i>232 Science</i> 34-47 (April 4, 1986)			
•	KW	L. Lipka <i>et al.</i> , "Reduction of LDL-Cholesterol and Elevation of HDL-Cholesterol in Subjects with Primary Hypercholesterolemia by SCH 58235: Pooled Analysis of Two Phase II Studies", <i>JACC</i> 257A (Feb. 2000)			
•	KX	Medical Economics, Co., Inc., <i>Physician's Desk Reference</i> , 207-208, 2054 (55 <sup>th</sup> Ed. 2001)			
•	KY	K. Fassbender <i>et al.</i> , "Simvastatin Strongly Reduces Levels of Alzheimer's Disease $\beta$ -Amyloid Peptides A $\beta$ 42 and A $\beta$ 40 in vitro and in vivo", <i>PNAs Early Edition</i> , <a href="http://www.phas.org/cgi/doi/10.1073/phas.081620098">www.phas.org/cgi/doi/10.1073/phas.081620098</a> (2001)			
•	KZ	Andrx Announces Results of Alzheimer's Disease Clinical Study", <i>Andrx Corporate Release</i> (April 11, 2001)			
•	LA	Andrx (ADRX): Pos Phase II Results Using Avicor in Alzheimer's: Str Buy; \$130", <i>US Bancorp Piper</i> , April 12, 2001			
•	LB	Statins May Protect Against Alzheimer's Disease; much research needed", <i>Geriatrics</i> February 2001			
•	LC	Dementia and Statins", <i>The Lancet</i> March 17, 2001			
•	LD	Research & Development: Andrx Says Cholesterol Drug May Treat Alzheimers", <i>Reuters</i> April 11, 2001			
•	LE	Cholesterol Drugs Ease Alzheimer's Damage; <a href="http://www.usatoday.com">www.usatoday.com</a> April 10, 2001			
•	LF	Lovastatin XL of Use in Alzheimer's? News Edge (May 2, 2001)			
•	LG	L. Refolo <i>et al.</i> , "Hypercholesterolemia Accelerates the Alzheimer's Amyloid Pathology in a Transgenic Mouse Model, <i>Neurobiology of Disease</i> 321-331 (2000)			
•	LH	D. Kang <i>et al.</i> , "Modulation of Amyloid $\beta$ -protein Clearance and Alzheimer's Disease Susceptibility by the LDL Receptor - Related Protein Pathway", <i>Journal of Clinical Investigation</i> 106:9, 1159-1166 (Nov. 2000)			
•	LI	Y.A. Kesaniemi, "Intestinal Cholesterol Absorption Efficiency in Man is Related to Apoprotein E Phenotype", <i>J. Clin. Invest.</i> 80(2) 578-81 (Aug. 1987)			
•	LJ	J. Busciglio <i>et al.</i> , "Generation of $\beta$ -amyloid in the secretory pathway in neuronal and nonneuronal cells", <i>90 Proc. Nat'l. Acad. Sci, USA</i> , 2092-2096 <i>Neurobiology</i> (March 1993)			
•	LK	L. Farrer <i>et al.</i> , "Assessment of Genetic Risk for Alzheimer's Disease Among first Degree Relatives", <i>Annals of Neurology</i> 25:5, 485-493 (May 1989)			
•	LL	A. Goate <i>et al.</i> , "Segregation of a Missense Mutation in the Amyloid Precursor Protein Gene with Familial Alzheimer's Disease", <i>349 Nature No.</i> 6311, 704-706 (Feb. 21, 1991)			



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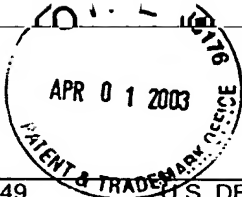
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LM	D. Mann <i>et al.</i> , "The Pattern of Acquisition of Plaques and Tangle in the Brains of Patients Under 50 years of Age with Down's Syndrome", 89 <i>J. Neuro. Sci.</i> , 169-179 (Feb. 1989)
LN	G. McKhann <i>et al.</i> , "Clinical Diagnosis of Alzheimer's Disease", 34 <i>Neurology</i> No. 7, 939-944 (July 1984)
LO	D. Selokoe, "Alzheimer's Disease: Genotypes, Pheontype and Treatments", 275 <i>Science</i> , 630-631 (Jan. 31, 1997)
LP	C. Van Duijn, <i>et al.</i> , "Familial Aggregation of Alzheimer's Disease and Related Disorders: A collaborative Re-Analysis of Case-Control Studies", 20 <i>Int'l J. Epidemiology</i> No. 2 (Suppl. 2), 513-520 (1991)
LQ	T Nagahara <i>et al.</i> , "Dibasic (Amidcinoaryl) Propanoic Acid Derivatives as Novel Blood Coagulation Factor Xa Inhibitors", <i>J. Med. Chem</i> 37:1200-1207 (1994)
LR	Mellott <i>et al.</i> , "Acceleration of Recombinant Tissue-Type Plasminogen Activator Induced Reperfusion and Prevention of Reocclusion by Recombinant Antistasin, a selective factor Xa Inhibitor, in a Canine Model of Femoral Arterial Thrombosis", <i>Circulation Research</i> , 70:1152-1160 (1992)
LS	Sitko <i>et al.</i> , "Conjunctive Enhancement of Enzymatic Thrombolysis and Prevention of Thrombotic Reocclusion With the Selective Factor Xa Inhibitor, Tick Anticoagulant Peptide", <i>Circulation</i> , 85:808-815 (1992)
LT	Seymour <i>et al.</i> , 1994, <i>Biochemistry</i> , 33:3949-3959
LU	Markwardt, 1994, <i>Thrombosis and Hemostasis</i> , 72:477-479
LV	Mendall <i>et al.</i> , "C-Reactive Protein and its relation to cardiovascular risk factor: A population based cross sectional study", <i>BMJ</i> ; 312:1061-1065 (April 27, 1996)
LW	Ridker P. <i>et al.</i> , "Prospective Studies of C-Reactive Protein as a risk factor for cardiovascular disease", 46 <i>J. Investig. Med.</i> ; 8:391-395 (1998)
LY	Waters, D. <i>et al.</i> , "A Controlled Clinical Trial to Assess the Effect of a Calcium Channel Blocker on the Progression of Coronary Atherosclerosis", <i>Circulation</i> ; 82:1940-1953 (1990)
LZ	Fleckenstein, 1985, <i>Cir. Res.</i> Vol 52 (Suppl. 1) 13-16
MA	Fleckenstein, 1983, "Experimental Facts and Therapeutic Prospects", <i>John Wiley, New York</i> , pp. 286-313
MB	McCall, D., 1985, " <i>Curr. Pract. Cardiol.</i> Vol. 10, 1-11
MC	Remington 1995, "The Science and Practice of Pharmacy, (19 <sup>th</sup> Ed. 1995) p. 963
MD	M. Chistie <i>et al.</i> , "Early - Onset Amyloid Deposition and Cognitive Deficits in Transgenic Mice Expressing a Double Mutant Form of Amyloid Precursor Protein 695", 276 <i>J. Biol. Chem.</i> No. 24; 21562-70 (June 15, 2001)
ME	C. Janus <i>et al.</i> , "A $\beta$ Peptide Immunization Reduces Behavioral impairment and Plaques in a Model of Alzheimer's Disease", 408 <i>Nature</i> 21/28; 979-982 (Dec. 2000)
MF	Manual of Laboratory Operations, "Lipid Research Clinics Program Report, Washington, D.C., U.S. Dept. of Health, Education and Welfare Publication; 1:75-628 (1974)
MG	Steiner, PM <i>et al.</i> , "Standardization of Micromethods for Plasma Cholesterol, Triglyceride and HDL-Cholesterol with the Lipid Clinic's Methodology [abstract], <i>J. Clin. Chem. Clin. Bichem</i> ; 19:850 (1981)
MH	Steele WG, <i>et al.</i> , "Enzymatic Determinations of Cholesterol in High Density Lipoprotein Fractions Prepared by Precipitation Technique, 22 <i>Clin. Chem.</i> ; 1:98-101 (1976)
MI	Salen <i>et al.</i> , "Increased Sitosterol Absorption, Decreased Removal and Expanded Body Pools Compensate for Reduced Cholesterol Syntheses in Sitosterolemia with Xanthomatosis", <i>J. Lipd Res.</i> ; 30:1319-1330 (1989)





Sheet 7

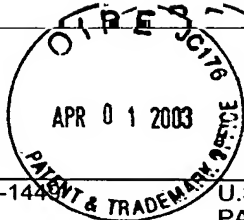
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FORM PTO-1449		U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE	ATTY. DOCKET NO.: CV01489K	SERIAL NO.: 10/057323
<b>INFORMATION DISCLOSURE STATEMENT BY APPLICANT</b>  (Use several sheets if necessary)			APPLICANT: Harry R. Davis et al.	
			FILING DATE: January 25, 2002	GROUP: 1619
MJ	Lutjohann <i>et al.</i> , "Sterol Absorption and Sterol Balance in Phytosterolemia Evaluated by Deuterium-Labeled Sterols: Effect of Sitostanol Treatment", <i>J. Lipid Res.</i> ; <b>36:8</b> ; 1763-1773 (1995)			
MK	Zhang <i>et al.</i> , "Calpain Inhibitor I Increases B- Amyloid Peptide by Inhibiting the Degradation of the Substrate of $\gamma$ - Secretase" <b>274 J. Biol. Chem.</b> , 13:8966-8972 (1999)			
ML	Zhang <i>et al.</i> , "Biochemical Characterization of the $\gamma$ -Secretase Activity that Produces B-Amyloid Peptides", <i>Biochemistry</i> <b>40:5049-5055</b> (2001)			
MM	Ida <i>et al.</i> , "Analysis of Heterogeneous BA4 Peptides in Human Cerebrospinal Fluid and Blood by a Newly Developed Sensitive Western Blot Assay", <b>271 J. Biol. Chem.</b> ; 37:22908-22914 (1996)			
MN	Lichtlen, P.R. <i>et al.</i> , 1990, <i>Lancet</i> ; <b>335:1109-1113</b>			
MO	Bays <i>et al.</i> , "Effectiveness and Tolerability of Ezetimibe in Patients with Primary Hypercholesterolemia: Pooled Analysis of Two Phase II Studies", <i>Clinical Therapeutics</i> , <b>23:1209-1230</b> (2001)			
MP	E. Leitersdorf <i>et al.</i> , "Cholesterol absorption inhibition: filling an unmet need in lipid-lowering management", <i>European Heart Journal Supplement</i> , <b>3:E17-E23</b> (June 2001)			
MQ	Bauer <i>et al.</i> , "Ezetimibe Does not Affect the Pharmacokinetics or Pharmacodynamics of Warfarin", <i>Clinical Pharmacology and Therapeutics</i> , <b>69:2 p5</b> (Mar. 6-10, 2001)			
MR	Keung <i>et al.</i> , "Ezetimibe Does Not Affect the Pharmacokinetics of oral Contraceptives", <i>Clinical Pharmacology and Therapeutics</i> , <b>69:2 p55</b> (Mar. 6-10, 2001)			
MS	Kosoglou <i>et al.</i> , "Pharmacodynamic interaction between fenofibrate and the Cholesterol Absorption Inhibitor Ezetimibe", <i>Workshops Lipid Lowering Drugs 72<sup>nd</sup> EAS Congress</i> , p. 38 (May 21-23, 2001)			
MT	T. Kosoglou <i>et al.</i> , "Coadministration of Ezetimibe and Fenofibrate Leads to Favorable Effects On Apo CII and LDL Subfractions", <i>Posters 11. Lipid Lowering Drugs/Novel, 72<sup>nd</sup> EAS Congress</i> , p. 89 (May 21-23, 2001)			
MU	L. Reyderman <i>et al.</i> , "Assessment of a Multiple-Dose Drug Interaction Between Ezetimibe and Gemfibrozil", Presented at XIV Int'l Symp. on Drugs Affecting Lipid Metabolism (DALM) N.Y. (Sept. 9-12, 2001)			
MV	P. Statkevich <i>et al.</i> , "Ezetimibe Does Not Affect the Pharmacokinetics and Pharmacodynamics of Glipizide", <i>Clinical Pharmacology &amp; Therapeutics</i> , <b>69:67</b> (March 6-10, 2001)			
MW	Knopp <i>et al.</i> , "Effect of Ezetimibe on Serum Concentrations of Lipid-Soluble Vitamins", <i>Posters 11. Lipid Lowering Drug/Novel 72<sup>nd</sup> EAS Congress</i> , p. 90 (May 21-23, 2001)			
MX	Kosoglou <i>et al.</i> , "Pharmacodynamic Interaction Between Fenofibrate and the Cholesterol Absorption Inhibitor Ezetimibe", <i>Workshops Lipid Lowering Drugs, 72<sup>nd</sup> EAS Congress</i> , p. 38 (March 6-10, 2001)			
MY	Bays <i>et al.</i> , "Low-Density Lipoprotein Cholesterol Reduction By SCH 58235 (Ezetimibe), A Novel Inhibitor of Intestinal Cholesterol Absorption, in 243 Hypercholesterolemic Subjects: Results of a Dose-Response Study", <i>XII International Symposium on Atherosclerosis, Stockholm, Sweden (June 25-29, 2000)</i>			
MZ	Castaner <i>et al.</i> , "Ezetimibe - Hypolipidemic Cholesterol Absorption Inhibitor", <i>Drugs of the Future</i> , <b>25(7):679-685</b> (2000)			
NA	Lipka <i>et al.</i> , "Reduction of LDL-Cholesterol and Elevation of HDL-Cholesterol in Subjects with Primary Hypercholesterolemia by Ezetimibe (SCH 58235): Pooled Analysis of Two Phase II Studies", <i>American College of Cardiology Annual Meeting, Anaheim, CA (March 12-15, 2000)</i>			
NB	Van Heek <i>et al.</i> , "Comparison of the activity and disposition of the novel cholesterol absorption inhibitor, SCH58235, and its glucuronide, SCH60663", <i>British Journal of Pharmacology</i> , <b>129:1748-1754</b> (2000)			





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				FILING DATE: January 25, 2002	
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NC	Van Heek <i>et al.</i> , 2000, "The potent cholesterol absorption inhibitor, ezetimibe, is glucuronidated in the intestine, localizes to the intestine, and circulates enterohepatically", <i>XII International Symposium of Atherosclerosis, Stockholm Sweden (June 25-29, 2000)</i>				
ND	Iannucci <i>et al.</i> , "Metabolism of SCH 58235 in the Human, Rat and Dog", <i>47<sup>th</sup> ASMS Conference on Mass Spectrometry and Allied Topics, Dallas, TX (June 13-17, 1999)</i>				
NE	Reiss <i>et al.</i> , "An Enzymatic Synthesis of Glucuronides of Azetidinone-based Cholesterol Absorption Inhibitors", <i>Bioorganic &amp; Medicinal Chemistry</i> , 7:2199-2202 (1999)				
NF	Rosenblum <i>et al.</i> , "Discovery of 1-(4-Fluorophenyl)-(3R)-[3-(4-fluorophenyl)-(3S)-hydroxypropyl]-(4S)-(4-hydroxyphenyl)-2-azetidinone (SCH 58235): A Designed, Potent, Orally Active Inhibitor of Cholesterol Absorption", <i>J. Med. Chem.</i> 41:973-980 (1998)				
NG	Vaccaro <i>et al.</i> , "Sugar-Substituted 2-Azetidinone Cholesterol Absorption Inhibitors: Enhanced Potency by Modification of the Sugar", <i>Bioorganic &amp; Medicinal Chemistry Letters</i> , 8:313-318 (1998)				
NH	Zaks <i>et al.</i> , "Enzymatic Glucuronidation of a Novel Cholesterol Absorption Inhibitor, SCH 58235", <i>Applied Biochemistry and Biotechnology</i> , 73:205-214 (1998)				
NI	W. Insull <i>et al.</i> , "Postmenopausal Hypercholesterolemic Women Derive Additive Benefit from Raloxifene and Simvastatin on Lipid Parameters", <i>World Heart Federation 6<sup>th</sup> International Symposium on Global Risk of Coronary Heart Disease and Stroke - Abstract Book</i> , p. 35 (June 12-15, 2002)				
NJ	L. Simons <i>et al.</i> , 2002, "Ezetimibe added to on-going statin therapy for treatment of primary hypercholesterolemia: Efficacy and safety in patients with Type 2 diabetes mellitus", presented at the 38 <sup>th</sup> Annual Meeting of the EASD, September 1-5, 2002				
NK	C. Allain <i>et al.</i> , 1974, "Enzymatic Determination of Total Serum Cholesterol", <i>Clinical Chemical</i> , 20:470-475				
NL	R. Mayrhofer <i>et al.</i> , 1980, "Simple-Preparation of 3-Benzylidene-2-azetidinones", <i>Synthesis</i> , 247-248				
NM	Burrier, R.E. <i>et al.</i> , 1994, "Demonstration of a Direct Effect on Hepatic Acyl CoA:Cholesterol Acyl Transferase (ACAT) Activity By An Orally Administered Enzyme Inhibitor in the Hamster", <i>Biochemical Pharmacology</i> 47:1545-1551				
NN	Burrier, R.E. <i>et al.</i> , 1994, "The Effect of Acyl CoA:Cholesterol Acyltransferase Inhibitor on the Uptake, Esterification and Secretion of Cholesterol by the Hamster Small Intestine", <i>The Journal of Pharmacology and Experimental Therapeutics</i> 272:156-163				
NO	E.F. Binder <i>et al.</i> , "Effects of Hormone Replacement Therapy on Serum Lipids in Elderly Women. A Randomized, Placebo-Controlled Trial", <i>134 Ann. Intern. Med.</i> 9:754-760 (May 1, 2001)				
NP	MR Haymart <i>et al.</i> , "Optimal Management of Dyslipidemia in Women and Men", <i>2 J. Gend. Specif. Med.</i> 6:37-42 (Nov. - Dec. 1997)				
NQ	"Framingham Heart Study Analysis Reveals Some Primary Prevention Subgroups Are Being Overlooked", <i>Heartwire</i> (April 12, 2001)				
NR	"Detection Evaluation, and Treatment of High Blood Cholesterol in Adults (Adult Treatment Panel III), "Third Report of the National Cholesterol Education Program (NCEP)", <i>NIH Publication No. 01-3670</i> (May 2001)				
NS	Van Heek <i>et al.</i> , "Ezetimibe, A Potent Cholesterol Absorption Inhibitor, Normalizes Combined Dyslipidemia in Obese Hyperinsulinemic Hamsters", <i>50 Diabetes</i> 1330-1335 (June 2001)				
NT	"Additional Statins Show Anti-Inflammatory Effect", <i>103 Circulation</i> 1933-35 (April 17, 2001)				
NU	H. Hauser, <i>et al.</i> , "Identification of a Receptor Mediating Absorption of Dietary Cholesterol in the Intestine", <i>Biochemistry</i> 37:17843-17850, 1998				
NV	G. Salen, <i>et al.</i> , "Sitosterolemia", <i>Journal of Lipid Research</i> 33:945-955, 1992				
NW	Stedman's Medical Dictionary, 27 <sup>th</sup> Edition, pg. 1381				
		DATE CONSIDERED			

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